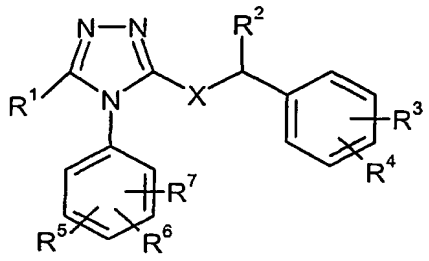
Claims

1. A phenyltriazole derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof:



wherein

R^1 represents alkyl optionally substituted by one or two substituents selected from the group consisting of alkoxy, amino, alkylamino, di(alkyl)amino, alkanoyloxy, hydroxy, carboxy, alkoxycarbonyl, cycloalkylphenyloxy, halogen, morpholino, carbamoyl, alkylsulfonylamino, phenyloxy optionally substituted by cycloalkyl, and 3- 8 membered saturated ring optionally having one or two N atom which ring optionally substituted by hydroxy or alkanoyl,

or 3-8 membered saturated or unsaturated ring optionally having one or two hetero atoms selected from the group consisting of N and O, and which ring is optionally substituted by one or two substituents selected from the group consisting of alkyl, halogen, alkoxy, nitro, amino, cyano, alkylamino, di(alkyl)amino, 4-7 membered saturated cyclic amine optionally substituted by hydroxy, and mono-, di-, or tri-halogen substituted alkyl;

R^2 represents $-COR^{21}$, $-(CH_2)_n-R^{21}$ or tert-butyl,

Wherein R^{21} is alkoxy, hydroxy, mono-, di-, or tri- halogen substituted alkyl,

or 3-8 membered saturated or unsaturated ring optionally having one or two heteroatoms selected from the group consisting of N, O, and S and which ring is optionally substituted by one or two substituents independently selected from the group consisting of alkanoyl, halogen, benzyl, alkoxycarbonyl, haloalkyloxy-carbonyl, cyano, hydroxy, amino, alkylamino, di(alkyl)amino, cycloalkylamino, alkoxycarbonyl, sulfamoyl, alkylaminosulfonyl, di(alkyl)aminosulfonyl, alkanoyl, alkanoylamino, carbamoyl, alkylcarbamoyl, di-(alkyl)carbamoyl, alkylsulfonyl,

alkyl optionally substituted by alkoxycarbonyl or mono-, di-, or tri-halogen, alkoxy optionally substituted by mono-, di-, or tri- halogen, and alkylthio optionally substituted by mono-, di-, or tri- halogen;

n is 0 or 1;

R^3 and R^4 independently represent hydrogen, halogen, cyano, hydroxy, amino, alkylamino, di(alkyl)amino, cycloalkylamino, carboxy, alkoxycarbonyl, sulfamoyl, alkylaminosulfonyl, di(alkyl)aminosulfonyl, alkanoyl, alkanoylamino, carbamoyl, alkylcarbamoyl, di-(alkyl)carbamoyl, alkylsulfonyl, alkyl optionally substituted by hydroxy, alkoxycarbonyl or mono-, di-, or tri-halogen, alkoxy optionally substituted by mono-, di-, or tri- halogen, or alkylthio optionally substituted by mono-, di-, or tri- halogen;

R^5 represents hydrogen, hydroxy, nitro, cyano, halogen, sulfamoyl, alkylsulfonyl, alkylaminosulfonyl, di(alkyl)aminosulfonyl, $-(CH_2)_m-CO-R^{50}$, $-(CH_2)_m-R^{51}$, $-NR^{52}R^{53}$, or $-OR^{54}$,

wherein m is 0, 1, 2, or 3

R^{50} is hydroxy, hydrogen, alkoxy, morpholino, di(phenyl)methyloxy, di(halogen substituted phenyl)methyloxy, $-NR^{501}R^{502}$ (wherein said R^{501} and R^{502} independently represent hydrogen, alkoxyalkyl, alkyl, hydroxyalkyl, alkoxycarbonylalkyl, or carboxyalkyl or

R^{501} and R^{502} together form with the adjuscent N atom, morpholino, piperazino optionally substituted by oxo, or 4-7 membered saturated cyclic amino optionally substituted by one substituent selected from the group consisting of carboxy, hydroxyalkyl, hydroxy, and carbamoyl) or alkyl optionally substituted by halogen,

R^{51} is hydrogen, hydroxy, or $-NR^{511}R^{512}$ (wherein said R^{511} and R^{512} independently represent hydrogen, alkoxyalkyl, alkyl, hydroxyalkyl, alkoxycarbonylalkyl, or carboxyalkyl, or R^{511} and R^{512} together form with the adjuscent N atom, 4-7 membered saturated cyclic amino optionally substituted by one substituent selected from the group consisting of carboxy, hydroxyalkyl, hydroxy, and carbamoyl),

R^{52} and R^{53} independently represent hydrogen, alkyl, hydroxy, cycloalkylcarbonyl, hydroxyalkyl, alkylsulfonyl, hydroxyalkylcarbonyl, carboxyalkylcarbonyl, alkanoyloxyalkylcarbonyl, or alkoxycarbonylalkylcarbonyl, or R^{52} and R^{53} together form with adjuscent N atom, morpholino, cyclic amino optionally substituted by one substituent selected from the group consisting of carboxy, hydroxyalkyl, hydroxy, and carbamoyl,

R^{54} represents alkyl optionally substituted by morpholino, amino, di(alkyl)amino, carboxy, alkoxycarbonyl, or mono-, di-, or tri- halogen, or piperazino substituted by carboxy;

R^6 and R^7 independently represents hydrogen, morpholino, hydroxypyrrolidinylcarbonyl, hydroxyalkylaminocarbonyl, cyano, hydroxy, hydroxyalkyl, hydroxyamino, carboxy, fluoro, chloro, bromo, nitro, amino, alkylamino, di(alkyl)amino, cycloalkylamino, alkoxycarbonyl, sulfamoyl, alkylaminosulfonyl, di(alkyl)aminosulfonyl, alkanoyl, alkanoylamino, carbamoyl, diphenylmethyloxycarbonyl, alkylcarbamoyl, di-(alkyl)carbamoyl, alkylsulfonyl, alkyl optionally substituted by alkoxyalkyl(alkyl)amino, di(alkyl)amino, alkoxycarbonyl, carboxy, or mono-, di-, or tri-halogen, alkoxy optionally substituted by morpholino, di(alkyl)amino, or mono-, di-, or tri- halogen, or C_{1-6} *alkylthio optionally substituted by mono-, di-, or tri- halogen*

or R^6 and R^7 together form phenyl fused to adjacent phenyl; and

X represents $CR^{10}R^{11}$, NR^{12}, S, O, SO_2, or SO

wherein R^{10}, R^{11}, and R^{12} independently represent hydrogen or methyl.

2. The phenyltriazole derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1:

wherein

X represents CH_2, NH, S, O, SO_2, or SO;

R^1 represents C_3 to C_8 cycloalkyl,

C_1-C_6 alkyl optionally substituted by one or two substituents selected from the group consisting of C_1-C_6 alkoxy, amino, C_1-C_6 alkylamino, di(C_1-C_6 alkyl)amino, C_1-C_6

alkanoyloxy, hydroxy, C_3-C_8 cycloalkyl, carboxy, C_1-C_6 alkoxycarbonyl, C_3-C_8 cycloalkylphenyloxy, halogen, morpholino, and pyrrolidinyl,

pyridyl, pyrrolidinyl, piperidinyl optionally substituted by methyl, or

phenyl optionally substituted by one selected from the group consisting of halogen, C_1-C_6 alkoxy, nitro, amino, cyano, C_1-C_6alkylamino, di(C_1-C_6alkyl)amino, and mono-, di- or tri- halogen substituted C_1-C_6alkyl,

R^2 represents $-COR^{21}$ or $-(CH_2)_n$-R^{21}, wherein R^{21} represents mono-, di-, tri- halogen substituted C_1-C_6 alkyl, morpholino, C_1-C_6 alkoxy, hydroxy, C_3 to C_8 cycloalkyl, pyridyl, furanyl, thiophenyl, pyrrolidinyl, piperidinyl optionally substituted by one substituent selected from the group consisting of benzyl, C_1-C_6 alkoxycarbonyl, and halo C_1-C_6 alkyloxycarbonyl, or phenyl optionally substituted by one substituent selected from the group consisting of C_1-C_6 alkyl, halogen, C_1-C_6 alkoxy, and mono-, di-, or tri- halogen substituted C_1-C_6alkyl;

n is 0 or 1;

R^3 and R^4 independently represent hydrogen, halogen, cyano, hydroxy, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{3-8} cycloalkylamino, C_{1-6} alkoxycarbonyl, sulfamoyl, C_{1-6} alkylaminosulfonyl, di(C_{1-6} alkyl)aminosulfonyl, C_{1-6} alkanoyl, C_{1-6} alkanoylamino, carbamoyl, C_{1-6} alkylcarbamoyl, di-(C_{1-6} alkyl)carbamoyl, C_{1-6} alkylsulfonyl, C_{1-6} alkyl optionally substituted by C_{1-6} alkoxycarbonyl or mono-, di-, or tri-halogen, C_{1-6} alkoxy optionally substituted by mono-, di-, or tri- halogen, or C_{1-6} alkylthio optionally substituted by mono-, di-, or tri- halogen;

R^5 represents hydrogen, nitro, cyano, hydroxy, halogen, sulfamoyl, C_1-C_6alkylsulfonyl, C_1-C_6alkylaminosulfonyl, di(C_1-C_6alkyl)aminosulfonyl, $-(CH_2)_m$-CO-R^{50}, $-(CH_2)_m$-R^{51}, $-NR^{52}R^{53}$, or $-OR^{54}$,

wherein

m is 0, 1, 2, or 3

R^{50} is hydroxy, hydrogen, C_1-C_6alkoxy, morpholino, diphenylmethyloxy, $-NR^{501}R^{502}$ (wherein said R^{501} and R^{502} independently represent hydrogen, C_1-C_6alkoxyalkyl, C_1-C_6alkyl, hydroxy C_1-C_6alkyl, C_1-C_6alkoxycarbonyl C_1-C_6alkyl, or carboxy C_1-C_6alkyl or R^{501} and R^{502} together form with the adjacent N atom morpholino, 4-6 membered saturated cyclic amino

optionally substituted by one substituent selected from the group consisting of carboxy, hydroxyalkyl, hydroxy, and carbamoyl) or C_1-C_6 alkyl optionally substituted by halogen,

R^{51} is hydrogen, hydroxy, or $-NR^{511}R^{512}$ (wherein said R^{511} and R^{512} independently represent hydrogen, C_1-C_6 alkoxyalkyl, C_1-C_6 alkyl, hydroxyalkyl, C_1-C_6 alkoxycarbonylalkyl, or carboxyalkyl or R^{511} and R^{512} together form with the adjacent N atom, 4-7 membered saturated cyclic amino optionally substituted by one substituent selected from the group consisting of carboxy, hydroxyalkyl, hydroxy, and carbamoyl)

R^{52} and R^{53} independently represent hydrogen, C_1-C_6 alkyl, hydroxy, C_3-C_8cycloalkylcarbonyl, or hydroxy C_1-C_6 alkyl or R^{52} and R^{53} together form with adjacent N atom, morpholino, 4-7 membered saturated cyclic amino optionally substituted by one substituent selected from the group consisting of carboxy, hydroxyalkyl, hydroxy, and carbamoyl

R^{54} represents alkyl optionally substituted by morpholino, amino, or di(alkyl) amino, or mono-, di-, or tri- halogen; and

R^6 and R^7 independently represent hydrogen, morpholino, hydroxypyrrolidinyl-carbonyl, hydroxyC_1-C_6alkylaminocarbonyl, cyano, hydroxy, hydroxyC_1-C_6alkyl, hydroxyamino, carboxy, fluoro, chloro, bromo, nitro, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{3-8} cycloalkylamino, C_{1-6} alkoxycarbonyl, sulfamoyl, C_{1-6} alkylaminosulfonyl, di(C_{1-6} alkyl)aminosulfonyl, C_{1-6} alkanoyl, C_{1-6} alkanoylamino, carbamoyl, diphenylmethyloxycarbonyl, C_{1-6} alkylcarbamoyl, di-(C_{1-6} alkyl)carbamoyl, C_{1-6} alkylsulfonyl, C_{1-6} alkyl optionally substituted by alkoxyalkyl(alkyl)amino, di(alkyl)amino, C_{1-6} alkoxycarbonyl, carboxy, or mono-, di-, or tri-halogen, C_{1-6} alkoxy optionally substituted by morpholino, di(alkyl)amino, or mono-, di-, or tri-halogen, or C_{1-6} alkylthio optionally substituted by mono-, di-, or tri-halogen

or R^6 and R^7 together form phenyl fused to adjacent phenyl.

3. The phenyltriazole derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

wherein

X represents CH_2, NH, S, or SO;

R^1 represents cyclopropyl, pyridyl,

phenyl optionally substituted by halogen, C_1-C_6alkoxy, nitro, amino, cyano, C_1-C_6alkylamino, di(C_1-C_6alkyl)amino, or halogen substituted C_1-C_6alkyl,

C_1-C_6 alkyl optionally substituted by one or two substituents selected from the group consisting of C_1-C_6alkoxy, amino, C_1-C_6 alkylamino, di(C_1-C_6 alkyl)amino, C_1-C_6 alkanoyloxy, hydroxy, C_3-C_8 cycloalkyl, carboxy, C_1-C_6 alkoxycarbonyl, C_3-C_8 cycloalkylphenyloxy, halogen, morpholino, and pyrrolidinyl,

pyrrolidinyl, or piperidinyl optionally substituted by methyl;

R^2 represents $-COR^{21}$ or $-(CH_2)_n$-R^{21}, wherein R^{21} represents mono-, di- or tri-halogen substituted alkyl, morpholino, C_1-C_6alkoxy, hydroxy, C_3 to C_8 cycloalkyl, pyridyl, furanyl, thiophenyl, pyrrolidinyl, piperidinyl optionally substituted by one selected from the group consisting from benzyl, C_1-C_6alkoxycarbonyl, and haloC_1-C_6alkyloxycarbonyl, or phenyl optionally substituted by one selected from the group consisting of C_1-C_6 alkyl, halogen, C_1-C_6 alkoxy, and mono-, di- or tri-halogen substituted C_1-C_6alkyl;

n is 0 or 1;

R^3 and R^4 independently represent hydrogen, halogen, methyl, or amino;

R^5 represents hydrogen, morpholino, hydroxypyrrolidinylcarbonyl, hydroxyalkylamino-carbonyl, cyano, hydroxy, hydroxyalkyl, hydroxyamino, carboxy, fluoro, chloro, bromo, nitro, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{3-8} cycloalkylamino, C_{1-6} alkoxycarbonyl, sulfamoyl, C_{1-6} alkylaminosulfonyl, di(C_{1-6} alkyl)aminosulfonyl, C_{1-6} alkanoyl, C_{1-6} alkanoylamino, carbamoyl, diphenylmethyloxycarbonyl, C_{1-6} alkylcarbamoyl, di-(C_{1-6} alkyl)carbamoyl, C_{1-6} alkylsulfonyl, C_{1-6} alkyl optionally substituted by alkoxyalkyl(alkyl)amino, di(alkyl)amino, C_{1-6} alkoxycarbonyl, carboxy, or mono-, di-, or tri-halogen, C_{1-6} alkoxy optionally substituted by morpholino, di(alkyl)amino, or substituted by mono-, di-, or tri- halogen, or C_{1-6} alkylthio optionally substituted by mono-, di-, or tri- halogen; and

R^6 and R^7 represent hydrogen,

or R^6 and R^7 together form phenyl fused to adjacent phenyl.

4. The phenyltriazole derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

wherein

X represents CH_2, NH, or S;

R^1 represents cyclopropyl, pyridyl, phenyl optionally substituted by halogen, alkoxy, nitro, amino, cyano, alkylamino, di(alkyl)amino, or halogen substituted alkyl,

C_1-C_6 alkyl optionally substituted by one or two substituents selected from the group consisting of alkoxy, amino, C_1-C_6 alkylamino, di(C_1-C_6 alkyl)amino, C_1-C_6 alkanoyloxy, hydroxy, C_3-C_8 cycloalkyl, carboxy, C_1-C_6 alkoxycarbonyl, C_3-C_8 cycloalkylphenyloxy, halogen, morpholino, and pyrrolidinyl,

pyrrolidiny, or piperidinyl optionally substituted by methyl.

5. The phenyltriazole derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

wherein

X represents CH_2, NH, or S;

R^2 represents $-COR^{21}$, $-(CH_2)_nR^{21}$, wherein R^{21} is phenyl optionally substituted by C_1-C_6 alkyl, halogen, halogen substituted alkyl or alkoxy and n is 0 or 1.

6. The phenyltriazole derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

wherein

X represents CH_2, NH, or S;

R^3 and R^4 independently represent hydrogen, halogen, methyl, amino; and

R^5 represents hydrogen, morpholino, hydroxypyrrolidinylcarbonyl, hydroxyalkyl-aminocarbonyl, cyano, hydroxy, hydroxyalkyl, hydroxyamino, carboxy, fluoro, chloro, bromo, nitro, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{3-8} cyclo-alkylamino, C_{1-6} alkoxycarbonyl, sulfamoyl, C_{1-6} alkylaminosulfonyl, di(C_{1-6} alkyl)aminosulfonyl, C_{1-6} alkanoyl, C_{1-6} alkanoylamino, carbamoyl, diphenylmeth-yloxycarbonyl, C_{1-6} alkylcarbamoyl, di-(C_{1-6} alkyl)carbamoyl, C_{1-6} alkylsulfonyl,

C_{1-6} alkyl optionally substituted by alkoxyalkyl(alkyl)amino, di(alkyl)amino, C_{1-6} alkoxycarbonyl, carboxy, or mono-, di-, or tri-halogen, C_{1-6} alkoxy optionally substituted by morpholino, di(alkyl)amino, or substituted by mono-, di-, or tri-halogen, or C_{1-6} alkylthio optionally substituted by mono-, di-, or tri- halogen; and

R^6 and R^7 represents hydrogen.

7. The phenyltriazole derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1, wherein said phenyltriazole derivative of the formula (I) is selected from the group consisting of:

(4-{3-cyclopropyl-5-[(diphenylmethyl)thio]-4H-1,2,4-triazol-4-yl}phenyl)dimethylamine;

(4-{3-[(diphenylmethyl)thio]-5-ethyl-4H-1,2,4-triazol-4-yl}phenyl)dimethylamine;

(4-{3-[(diphenylmethyl)thio]-5-propyl-4H-1,2,4-triazol-4-yl}phenyl)dimethylamine;

[4-(3-cyclopropyl-5-{[(2-methylphenyl)(phenyl)methyl]thio}-4H-1,2,4-triazol-4-yl)phenyl]dimethylamine;

[4-(3-{[bis(4-chlorophenyl)methyl]thio}-5-cyclopropyl-4H-1,2,4-triazol-4-yl)phenyl]dimethylamine;

[4-(3-cyclopropyl-5-{[(4-methylphenyl)(phenyl)methyl]thio}-4H-1,2,4-triazol-4-yl)phenyl]dimethylamine;

[4-(3-{[bis(4-fluorophenyl)methyl]thio}-5-cyclopropyl-4H-1,2,4-triazol-4-yl)phenyl]dimethylamine;

[4-(3-{[(4-chlorophenyl)(phenyl)methyl]thio}-5-cyclopropyl-4H-1,2,4-triazol-4-yl)phenyl]dimethylamine;

(4-{3-cyclobutyl-5-[(diphenylmethyl)thio]-4H-1,2,4-triazol-4-yl}phenyl)dimethylamine;

(4-{3-butyl-5-[(diphenylmethyl)thio]-4H-1,2,4-triazol-4-yl}phenyl)dimethylamine;

[4-(3-{[bis(4-methylphenyl)methyl]thio}-5-cyclopropyl-4H-1,2,4-triazol-4-yl)phenyl]dimethylamine;

{4-[3-cyclopropyl-5-({phenyl[4-(trifluoromethyl)phenyl]methyl}thio)-4H-1,2,4-triazol-4-yl]phenyl}dimethylamine;

[4-(3-{[(4-chlorophenyl)(cyclohexyl)methyl]thio}-5-cyclopropyl-4H-1,2,4-triazol-4-yl)phenyl]dimethylamine;

3-[(diphenylmethyl)thio]-5-ethyl-4-(4-isopropylphenyl)-4H-1,2,4-triazole;

{4-[3-{[bis(4-chlorophenyl)methyl]thio}-5-(3-fluorophenyl)-4H-1,2,4-triazol-4-yl]phenyl}dimethylamine;

[4-(3-{[bis(4-chlorophenyl)methyl]thio}-5-propyl-4H-1,2,4-triazol-4-yl)phenyl]dimethylamine;

3-(3-{[bis(4-chlorophenyl)methyl]thio}-5-propyl-4H-1,2,4-triazol-4-yl)benzoic acid;

3-{5-{[bis(4-chlorophenyl)methyl]thio}-4-[4-(dimethylamino)phenyl]-4H-1,2,4-triazol-3-yl}propan-1-ol;

3-[3-{[bis(4-chlorophenyl)methyl]thio}-5-(3-fluorophenyl)-4H-1,2,4-triazol-4-yl]benzoic acid;

3-[3-{[bis(4-chlorophenyl)methyl]thio}-5-(3-fluorophenyl)-4H-1,2,4-triazol-4-yl]phenol;

3-(3-{[bis(4-chlorophenyl)methyl]thio}-5-propyl-4H-1,2,3-triazol-4-yl)benzoic acid;

3-(3-{[bis(4-chlorophenyl)methyl]thio}-5-cyclopropyl-4H-1,2,4-triazol-4-yl)benzoic acid;

5-[3-{[bis(4-chlorophenyl)methyl]thio}-5-(3-fluorophenyl)-4H-1,2,4-triazol-4-yl]-2-(dimethyl-amino)benzoic acid;

1-[4-(3-{[bis(4-chlorophenyl)methyl]thio}-5-propyl-4H-1,2,4-triazol-4-yl)phenyl]-piperidine-3-carboxylic acid; and

1-{4-[3-{[bis(4-chlorophenyl)methyl]thio}-5-(3-fluorophenyl)-4H-1,2,4-triazol-4-yl]-phenyl}-piperidine-3-carboxylic acid.

8. A medicament comprising a phenyltriazole derivative of the formula (I), its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof as claimed in claim 1 in as an active ingredient.

9. The medicament as claimed in claim 8, further comprising one or more pharmaceutically acceptable excipients.

10. The medicament as claimed in claim 8, wherein said phenyltriazole derivative of the formula (I), its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof is a GABAb agonist.

11. The medicament as claimed in claim 8 for the treatment and/or prevention of an urological disorder or disease.

12. The medicament as claimed in claim 11, wherein said urological disorder or disease is urge urinary incontinence, overactive bladder, benign prostatic hyperplasia.

13. The medicament as claimed in claim 11 for the treatment and/or prevention of pain.

14. The medicament as claimed in claim 11 for the treatment and/or prevention of spasticity and motor control disorders, epilepsy, cognitive defects, psychiatric disorders, alcohol dependence and withdrawal, feeding behaviour, cardiovascular, respiratory disorders, or gastrointestinal disorders.

15. Use of a compound according to claim 1 for manufacturing a medicament for the treatment and/or prevention of an urological disorder or disease.

16. Use of a compound according to claim 1 for manufacturing a medicament for the treatment and/or prevention of pain.

17. Process for controlling an urological disorder or disease in humans and animals by administration of an GABAb-agonistically effective amount of a compound according to claim 1.

18. Process for controlling pain in humans and animals by administration of a GABAb-agonistically effective amount of a compound according to claim 1.